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** The work was supported by the Russian Science Foundation (Ref № 18-73-00301). We are also thankful to the RFBR № 20-33-7007.*

УДК 547.856.1

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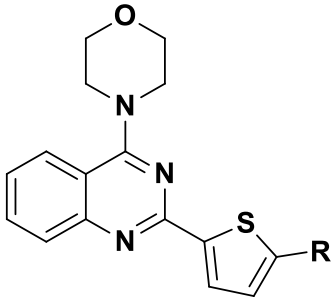
SYNTHESYS AND PHOTOPHYSICAL PROPERTIES OF 2-(HET)ARYLDERIVATIVES OF 4-MORPHOLINYLQUINAZOLINES*

Keywords: 4-(4-morpholinyl)quinazolines, cross-coupling, fluorescence.

D-π-A conjugated organic molecules draw attention due to their possible application as non-linear optical (NLO) materials [1], organic light-emitting diodes (OLEDs) [2], pH/polarity sensors [3] etc. Quinazoline core can serve as electron-withdrawing part of such systems while different phenol and aniline derivatives represent electron-donating part.

In light of great interest to benzazine-based chromophores 2-(thiophen-2-yl)-4-(morpholin-4-yl)quinazoline derivatives **1** have been synthesized and their photophysical properties as well as the influence of medium acidity have been studied [4]. The ability of quinazoline derivative **1g**, bearing diethylaminophenyl moiety at position 5’ of thiophene ring, to function as colorimetric and luminescent pH sensor has been demonstrated with significant color change and luminescence switching upon the introduction of trifluoroacetic acid.

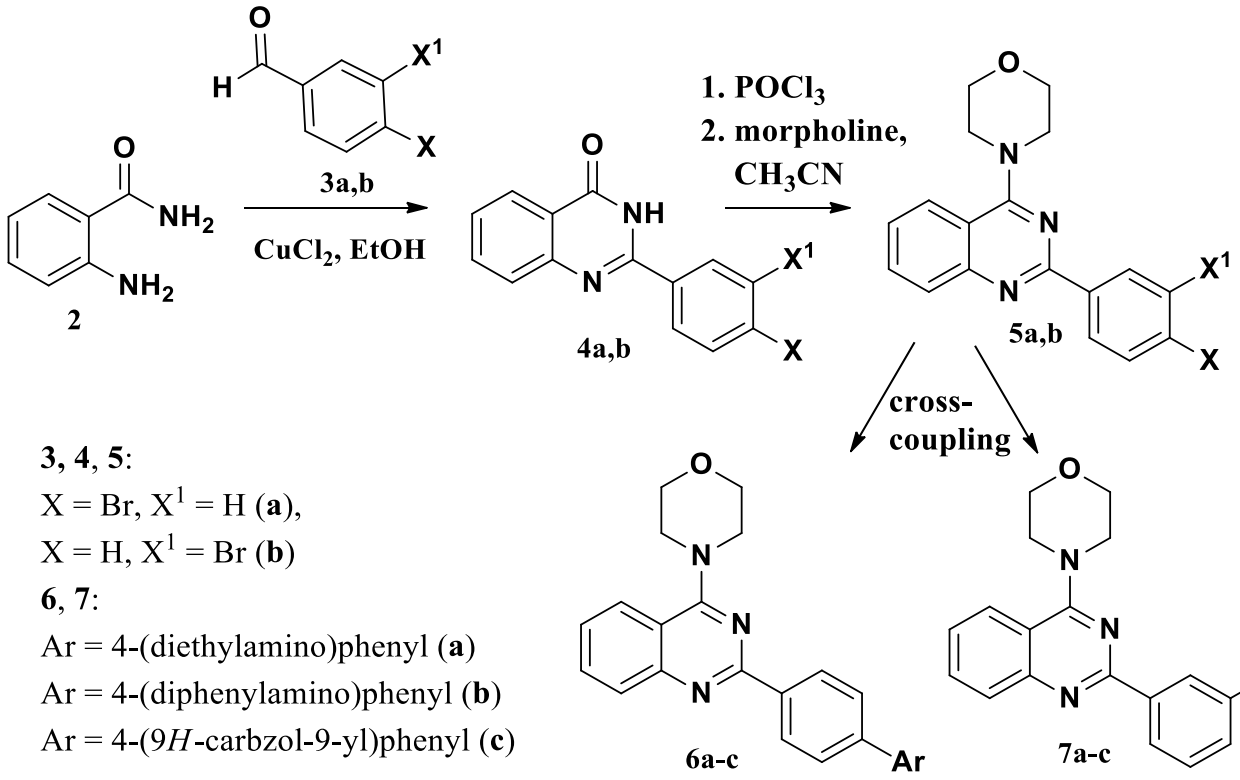
R = Ph–C≡C (**1a**),
4-MeOPh–C≡C (**1b**),
2-thienyl (**1c**),
Ph (**1d**),
4-methoxyphenyl (**1e**),
3,4,5-trimethoxyphenyl (**1f**),
4-(diethylamino)phenyl (**1g**),
4-(diphenylamino)phenyl (**1h**),
4-(9H-carbzol-9-yl)phenyl (**1e**)



1a-e

CH_3CN:
λ_{abs} = 329–401 nm
λ_{em} = 438–544 nm
φ = up to 0.50
Toluene:
λ_{abs} = 345–405 nm
λ_{em} = 418–476 nm
φ = up to 0.67
Toluene + TFA:
λ_{em} = 467–611 nm
φ = up to 0.73

Modification of π-spacer in quinazoline molecules **1**, namely the incorporation of phenyl fragment instead of thienyl one can be used for effective tuning of photophysical properties. The synthesis of target products **6, 7** was realized similarly to the early developed method [4]. Condensation of 2-aminobenzamide **2** with corresponding bromobenzaldehyde **3a,b** and subsequent oxidation with $CuCl_2$ led to quinazolinones **4a-e**. Chlorodesoxygenation and substitution of chlorine atom with morpholine residue gave products **5a,b**. The synthesis of chromophores **6**, **7** has been achieved through Suzuki cross-coupling reaction with arylboronic acids or [4-(9*H*-carbazol-9-yl)phenyl]boronic acid pinacol ester in water/toluene/ethanol mixture in the presence of K_2CO_3 as a base and *trans*-$PdCl_2(PPh_3)_2$ and PPh_3 as catalysts.



3, 4, 5:
X = Br, X^1 = H (**a**),
X = H, X^1 = Br (**b**)
6, **7**:
Ar = 4-(diethylamino)phenyl (**a**)
Ar = 4-(diphenylamino)phenyl (**b**)
Ar = 4-(9*H*-carbzol-9-yl)phenyl (**c**)

Further work on the photophysical studies of quinazolines **6, 7** and their potential applications is underway and will be reported soon.

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** This work was supported by the Russian Foundation for Basic Research (grant number 18-03-00112).*

УДК 547.1.13

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THE SYNTHESIS OF BENZO[4,5]THIENO[2,3-*f*]ISOINDOLE-CARBOXYLIC ACIDS BY IMDAV REACTION*

Keywords: IMDAV, [4+2] cycloaddition, benzothiophene, maleic anhydride.

The broad implementation of the [4+2] cycloaddition reactions in advanced organic chemistry paved the way for easily approachable syntheses of heterocyclic scaffolds. The reaction of vinylthiophenes with unsaturated carboxylic acids anhydrides yields thienoisoindole derivatives, a class of compounds that are expected to exhibit biological activity [1].

The recent researches indicate the formation of thieno[2,3-*f*]isoindole-4-carboxylic acids by interaction of 3-(thien-2-yl)allylamines with maleic anhydride. The initial *N*-acylation of allylamines is supervened by cyclization of intermediate maleinamides through the step of intramolecular Diels-Alder vinylthiophene (IMDAV) reaction [2].

We successfully managed to expand the described approach to benzothiophene derivatives. 3-(Benzo[*b*]thiophen-2-yl)allylanilines were made to react with maleic anhydrides, a number of benzo[4,5]thieno[2,3-*f*]isoindole-10-carboxylic acids were obtained in different yields.